| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 16:38:02 ON 06 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 MAY 2004 HIGHEST RN 680179-46-8
DICTIONARY FILE UPDATES: 5 MAY 2004 HIGHEST RN 680179-46-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
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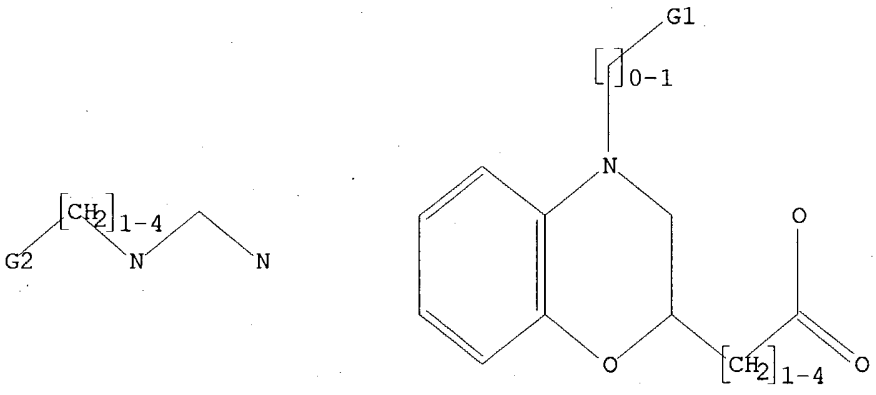
L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR




G1 C,H,Cy
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> S L1 SSS FULL
FULL SEARCH INITIATED 16:39:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 31 TO ITERATE

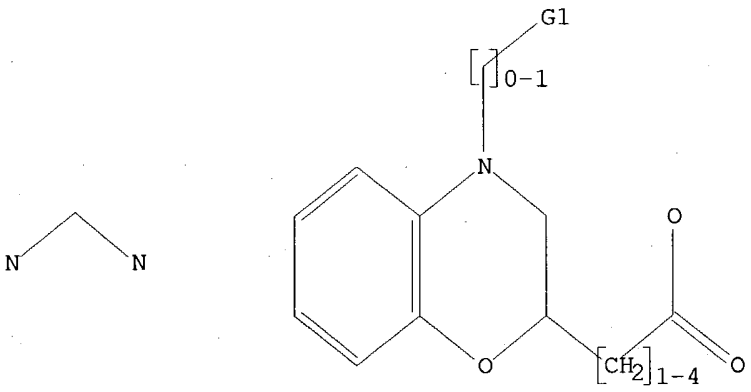
100.0% PROCESSED 31 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\924732.str

L3 STRUCTURE UPLOADED

=> D L3
L3 HAS NO ANSWERS
L3 STR




G1 C,H,Cy
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> S L3 SSS FULL
FULL SEARCH INITIATED 16:41:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 34 TO ITERATE

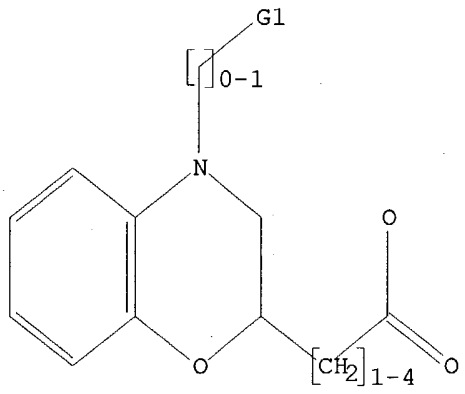
100.0% PROCESSED 34 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L3

=>
Uploading C:\Program Files\Stnexp\Queries\924732.str

L5 STRUCTURE UPLOADED

=> D L5
L5 HAS NO ANSWERS
L5 STR




N

G1 C,H,Cy

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> S L5 SSS FULL
FULL SEARCH INITIATED 16:42:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1378 TO ITERATE

100.0% PROCESSED 1378 ITERATIONS 189 ANSWERS
SEARCH TIME: 00.00.01

L6 189 SEA SSS FUL L5

=> FILE CAPLUS

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 468.36 | 468.57 |

FILE 'CAPLUS' ENTERED AT 16:42:36 ON 06 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 6 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 5 May 2004 (20040505/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L6

L7 15 L6

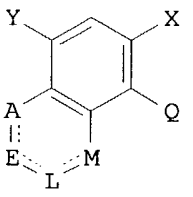
=> D L7 1-15 IBIB ABS HITSTR

L7 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:429093 CAPLUS
DOCUMENT NUMBER: 139:6880
TITLE: Preparation of benzoxazines, benzoxazoles, and related compounds as herbicides.
INVENTOR(S): Tsukamoto, Masamitsu; Gupta, Sandeep; Wu, Shao-Yong; Ying, Bai-Ping; Pulman, David A.
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 149,296, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

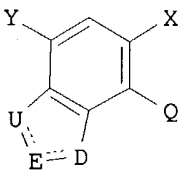
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6573218 | B1 | 20030603 | US 2001-786816 | 20010705 |
| WO 2000013508 | A1 | 20000316 | WO 1999-US18836 | 19990903 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004029734 | A1 | 20040212 | US 2002-301799 | 20021122 |

PRIORITY APPLN. INFO.: US 1998-149296 B2 19980909
WO 1999-US18836 W 19990903
US 2001-786816 A3 20010705

OTHER SOURCE(S): MARPAT 139:6880
GI




I




II

AB Title compds. [I, II; X, Y = H, halo, cyano, nitro, alkyl, alkoxy, haloalkyl, haloalkoxy; A = O, N, NR1, CR3, CR3R4, SOn, CO, CS, CNR1; D = N, NR2; M = CR5, CR5R6, N, NR2, SOn, CO, CS, CNR2; When A = O, M = N, NR2, SOn, CO, CS, CNR2; E, L = CR7, CR8, CR7R8, O, N, NR7, SOn, CO, CS, CNR7, CNR7R8; U = CR9, O, N, NR2, S(O)n, CO, CS, CNR2; when U = CR9, E = N; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, alkylcarbonyl, cycloalkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, arylcarbonyl

heteroarylcarbonyl; Q = specified azolyl, azinyl; R3-R9 = H, halo, OH, SH, amino, cyano, NO2, (substituted) alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxyalkyl, alkynyl, alkenyl, aryl, heteroaryl, aryloxy, heteroaryloxy, cycloalkyl, cyclocarbonyl, carboxy, alkylcarbonyl, arylcarbonyl, haloalkylcarbonyl, alkylcarbonyloxy, haloalkylcarbonyloxy, alkoxycarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, haloalkylthiocarbonyl, alkoxythiocarbonyl, haloalkoxythiocarbonyl, alkylamino, arylsulfonylamino, arylamino, alkylthio, arylthio, alkenylthio, alkynylthio, alkylsulfinyl, alkenylsulfinyl, alkynylsulfinyl, alkylsulfonyl, alkenylsulfonyl, alkynylsulfonyl, arylsulfonyl; n = 0-2], were prepared Thus, 4-chloro-3-(2-amino-4-chloro-6-fluoro-3-hydroxyphenyl)-5-difluoromethoxy-1-methyl-1H-pyrazole (preparation given), Et 2-bromopropionate, and K2CO3 were stirred in MeCN overnight to afford 4-chloro-3-(8-chloro-6-fluoro-2-methyl-2H-1,4-benzoxazin-3-on-5-yl)-5-difluoromethoxy-1-methyl-1H-pyrazole. The latter at 250 g/ha postemergent gave 100% control of Amaranthus retroflexus.

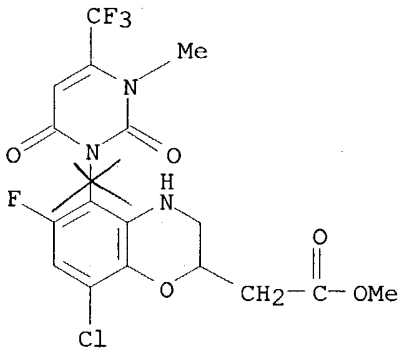
IT **535980-39-3P**

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoxazines, benzoxazoles, and related compds. as herbicides)

RN 535980-39-3 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 8-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-6-fluoro-3,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:350925 CAPLUS

DOCUMENT NUMBER: 139:164757

TITLE: Synthesis and antimicrobial activity of some novel 2,6,7-trisubstituted 3,4-dihydro-2H-1,4-benzoxazin-3-one derivatives

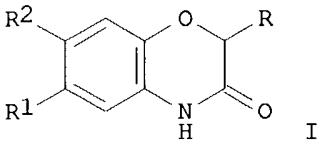
AUTHOR(S): Yalcin, Ismail; Tekiner, Betul P.; Oren, Ilkay Yildiz; Arpaci, Ozlem Temiz; Aki-Saner, Esin; Altanlar, Nurten

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2003), 42B(4), 905-909
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:164757
GI

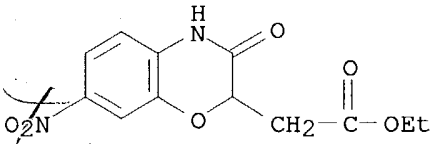


I

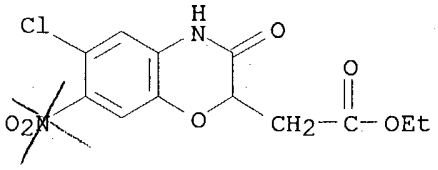
AB Title compds. I (R = OH, CH2COOEt, CH2COOH; R1 = H, Cl, Me; R2 = H, NO2, Me) were prepared in order to determine their antimicrobial activities and study their structure-activity relationships. The synthesized compds. were tested in vitro against two Gram-pos. and three Gram-neg. bacteria and the fungus Candida albicans. The synthesized compds. exhibited MIC values between 50-12.5 μg/mL for the antimicrobial activity against the tested microorganisms. The antibacterial and antifungal activities of I were compared to several standard drugs.

IT **573658-31-8P 573658-33-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of 2,6,7-trisubstituted 3,4-dihydro-2H-1,4-benzoxazin-3-ones)

RN 573658-31-8 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-7-nitro-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 573658-33-0 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 6-chloro-3,4-dihydro-7-nitro-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

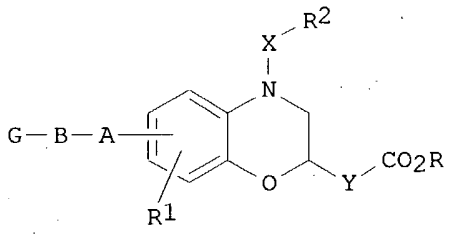
L7 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:282116 CAPLUS
DOCUMENT NUMBER: 138:304291
TITLE: New benzoxazine derivatives useful as αvβ3 integrin receptor antagonists

applicant

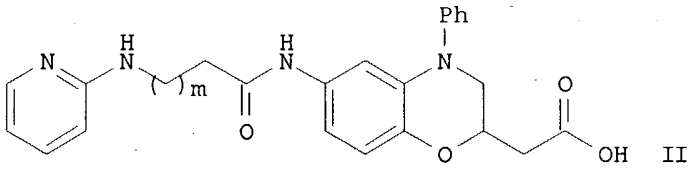
INVENTOR(S): Vianello, Paola; Bandiera, Tiziano; Varasi, Mario
PATENT ASSIGNEE(S): Italy
SOURCE: U.S. Pat. Appl. Publ., 37 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2003069236 | A1 | 20030410 | US 2001-924732 | 20010808 |

PRIORITY APPLN. INFO.: US 2001-924732 20010808
OTHER SOURCE(S): MARPAT 138:304291
GI




I




II

AB The invention relates to a class of compds. I, or pharmaceutically acceptable salts, prodrugs, or esters thereof [wherein: G = Q'NHCONH-, certain cyclic amidines and guanidines, such as pyridin-2-ylamino or imidazolin-2-ylamino, optionally substituted by C1-4-alkyl; Q = NH or O; Q' = H, C1-6 alkyl, Ph, or phenyl-C1-4-alkyl; B = C1-4 alkyl or C2-4 alkenyl; A = CH2, O, S(O)0-2, NH, CONH, CON(Me), NHCO, N(Me)CO; R1 = H, C1-4 alkyl, C1-4 alkoxy, OH, halo, or CF3; X = bond, CO; R2 = H, C1-4 alkyl, C3-7 cycloalkyl, C1-4-alkylcycloalkyl; aryl (substituted by 0-3 of: halo, CF3, C1-4 alkyl, OH and C1-4 alkoxy), aralkyl, and C5-7 monocyclic heteroaryl with 1-3 N/O/S atoms (substituted by 0-3 of: halo, CF3, C1-4 alkyl, OH, and C1-4 alkoxy); Y = (CH2)1-2; R = H, C1-6 alkyl, C2-4 alkenyl, C2-4 alkynyl, aryl, or aryl-C1-4 alkyl; provided that X ≠ bond when G = H2NCONH-]. The invention also relates to pharmaceutical compns. comprising I, and to methods of selectively inhibiting or antagonizing αvβ3 integrin using I. The compds. can be used for treatment of a variety of medical conditions, including cancer, and can be used or formulated in combination with other classes of antitumor agents. Approx. 50 compds. are specifically claimed, and synthetic details are given for 6 of them. For example, cyclocondensation of 4-nitro-2-aminophenol with Me 4-bromocrotonate using NaHCO3 in MeOH gave 91% Me (6-nitro-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetate. This compound underwent a sequence of: (1) N-phenylation using 1,4-cyclohexanedione and p-MeC6H4SO3H (25%), (2) hydrogenation of nitro to amino (56%), (3) amidation of amino with N-(benzyloxycarbonyl)-N-(1-oxido-2-pyridinyl)-

β-alanine (76%), (4) reduction of the N-oxide using SnCl2 and TiCl4 (99%), (5) reductive removal of benzyloxycarbonyl (79.5%), and (6) saponification of the Me ester with aqueous NaOH in EtOH (35%), to give title compound II [m = 1].. Three standard formulations of the similarly prepared II [m = 2] are described. I [m = 2] bound to human αvβ3 receptor in vitro with an IC50 of 0.024 μM, and to human αIIbβ3 receptor with an IC50 of 27 μM, thus giving a high selectivity ratio of approx. 1000 for αvβ3.

IT **508182-84-1P**, [4-Phenyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-85-2P**, [4-Phenyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-86-3P**, [4-Phenyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-87-4P**, [4-Phenyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-88-5P**, [4-Phenyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-89-6P**, [4-Phenyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-90-9P**, [4-Methyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-91-0P**, [4-Methyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-92-1P**, [4-Methyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-93-2P**, [4-Methyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-94-3P**, [4-Methyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-95-4P**, [4-Methyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-96-5P**, [4-Cyclopropylmethyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-97-6P**, [4-Cyclopropylmethyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-98-7P**, [4-Cyclopropylmethyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508182-99-8P**, [4-Cyclopropylmethyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-00-4P**, [4-Cyclopropylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-01-5P**, [4-Cyclopropylmethyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-02-6P**, [4-Cyclohexylmethyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-03-7P**, [4-Cyclohexylmethyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-04-8P**, [4-Cyclohexylmethyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-05-9P**, [4-Cyclohexylmethyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-06-0P**, [4-Cyclohexylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-07-1P**, [4-Cyclohexylmethyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-08-2P**, [4-Benzyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-09-3P**, [4-Benzyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-10-6P**, [4-Benzyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic

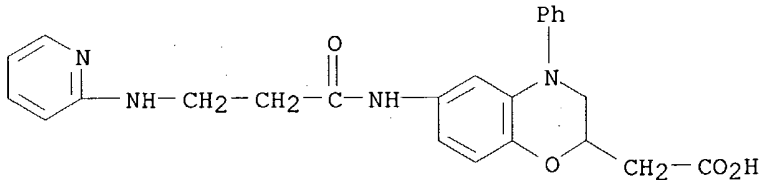
acid **508183-11-7P**, [4-Benzyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-12-8P**, [4-Benzyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-13-9P**, [4-Benzyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-14-0P**, [4-Benzoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-15-1P**, [4-Benzoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-16-2P**, [4-Benzoyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-17-3P**, [4-Benzoyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-18-4P**, [4-Benzoyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-19-5P**, [4-Benzoyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-20-8P**, [4-Nicotinoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-21-9P**, [4-Nicotinoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-22-0P**, [4-Nicotinoyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-23-1P**, [4-Nicotinoyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-24-2P**, [4-Nicotinoyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-25-3P**, [4-Nicotinoyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-26-4P**, [4-Phenyl-6-[[[2-(2-pyridinylamino)ethyl]amino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-27-5P**, [4-Phenyl-6-[[[3-(2-pyridinylamino)propyl]amino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-28-6P**, [4-Phenyl-6-[[[4-(2-pyridinylamino)butyl]amino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-29-7P**, [4-Phenyl-6-[[[2-(1H-imidazol-2-ylamino)ethyl]amino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-30-0P**, [4-Phenyl-6-[[[3-(1H-imidazol-2-ylamino)propyl]amino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid **508183-31-1P**, [4-Phenyl-6-[[[4-(1H-imidazol-2-ylamino)butyl]amino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoxazine derivs. as selective αvβ3 integrin receptor antagonists)

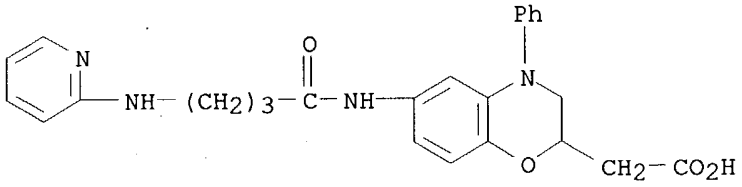
RN 508182-84-1 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-[[1-oxo-3-(2-pyridinylamino)propyl]amino]-4-phenyl- (9CI) (CA INDEX NAME)

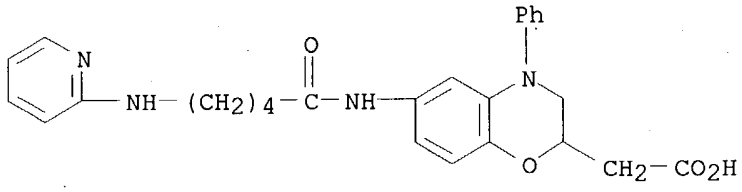



RN 508182-85-2 CAPLUS

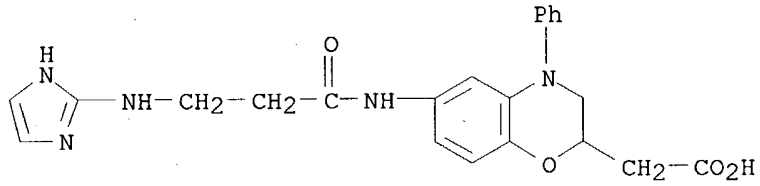
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-[[1-oxo-4-(2-pyridinylamino)butyl]amino]-4-phenyl- (9CI) (CA INDEX NAME)



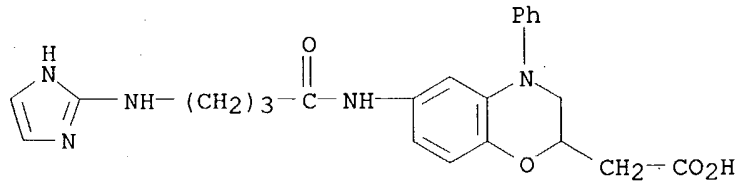
RN 508182-86-3 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-[[1-oxo-5-(2-pyridinylamino)pentyl]amino]-4-phenyl- (9CI) (CA INDEX NAME)



RN 508182-87-4 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-[[3-(1H-imidazol-2-ylamino)-1-oxopropyl]amino]-4-phenyl- (9CI) (CA INDEX NAME)

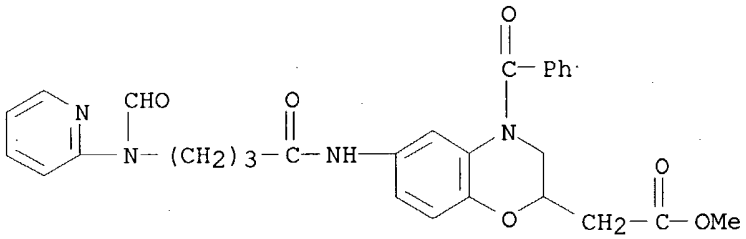


RN 508182-88-5 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-[[4-(1H-imidazol-2-ylamino)-1-oxobutyl]amino]-4-phenyl- (9CI) (CA INDEX NAME)

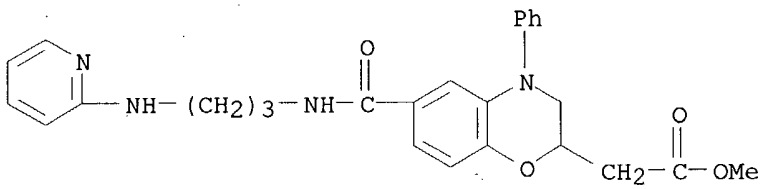


RN 508182-89-6 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-[[5-(1H-imidazol-2-ylamino)-1-oxopentyl]amino]-4-phenyl- (9CI) (CA INDEX NAME)

RN 508183-53-7 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-benzoyl-6-[[4-(formyl-2-pyridinylamino)-1-oxobutyl]amino]-3,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)



RN 508183-60-6 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-4-phenyl-6-[[[3-(2-pyridinylamino)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:832759 CAPLUS
DOCUMENT NUMBER: 137:353062
TITLE: Preparation of 2-iminopyrrolidine derivatives as thrombin receptor antagonists
INVENTOR(S): Suzuki, Shuichi; Kotake, Makoto; Miyamoto, Mitsuaki; Kawahara, Tetsuya; Kajiwara, Akiharu; Hishinuma, Ieharu; Okano, Kazuo; Miyazawa, Syuhei; Clark, Richard; Ozaki, Fumihiro; Sato, Nobuaki; Shinoda, Masanobu; Kamada, Atsushi; Tsukada, Itaru; Matsuura, Fumiyoshi; Naoe, Yoshimitsu; Terauchi, Taro; Oohashi, Yoshiaki; Ito, Osamu; Tanaka, Hiroshi; Musya, Takashi; Kogushi, Motoji; Kawada, Tsutomu; Matsuoka, Toshiyuki; Kobayashi, Hiroko; Chiba, Kenichi; Kimura, Akifumi; Ono, Naoto
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 948 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002085855 | A1 | 20021031 | WO 2002-JP3961 | 20020419 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1391451 A1 20040225 EP 2002-724628 20020419
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BR 2002008985 A 20040309 BR 2002-8985 20020419
PRIORITY APPLN. INFO.: JP 2001-121829 A 20010419
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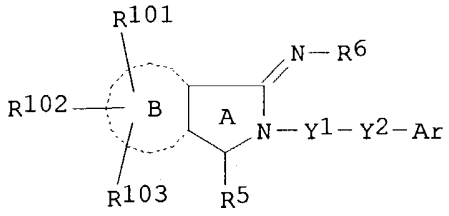
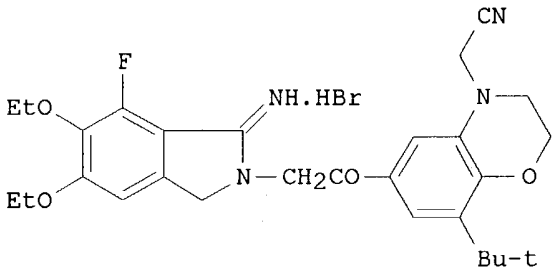
WO 2002-JP3961 W 20020419
OTHER SOURCE(S): MARPAT 137:353062
GI




I




II

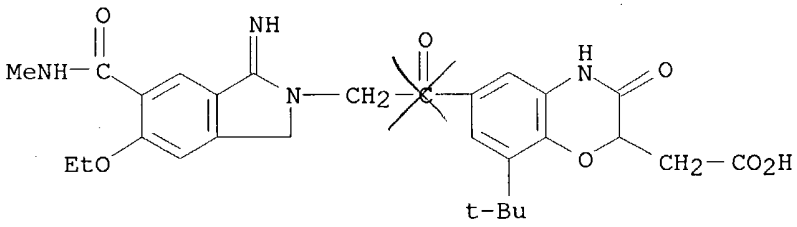
AB 2-Iminopyrrolidine derivs. including 2,3-dihydro-1H-isoindole and 6,7-dihydro-5H-pyrrolo[3,4-b]pyridine represented by the general formula (I) or salts thereof [wherein B = (un)substituted aromatic hydrocarbon or aromatic heterocyclic ring optionally containing 1 or 2 N atom(s); R101, R102, R103 = H, cyano, halo, each (un)substituted C1-6 alkyl, C2-8 alkenyl, C2-8 alkynyl, acyl, CO2H, CONH2, C1-6 alkoxycarbonyl, C1-6 alkylaminocarbonyl, HO, C1-6 alkoxy, C3-8 cycloalkyloxy, NH2, C1-6 alkylamino, C3-8 cycloalkylamino, acylamino, ureido, sulfonylamino, sulfonyl, SO2NH2, or C3-8 cycloalkyl, etc.; Y1 = a single bond, (CH2)m, each (un)substituted CH, CH2, NH, CONH, or SO2NH, CH2CO, SO, SO2, CO (wherein m = an integer of 1-3); Y2 = a single bond, O, N, (CH2)m, each (un)substituted CH, CH2, or C(:NOH), CO, SO, SO2; Ar = H, (un)substituted Ph] are prepared These compds. are thrombin receptor antagonists, in particular thrombin PAR1 receptor antagonists and are useful as blood platelet aggregation inhibitors and proliferation inhibitors of smooth muscle cell, endothelial cell, fibroblast, kidney cell, osteosarcoma cell, muscle cell, cancer cell, and/or glial cell and for the treatment and/or prevention of

thrombosis, vascular restenosis, deep vein thrombosis, lung embolism, cerebral infarction, heart disease, disseminated intravascular coagulation syndrome, hypertension, inflammation, rheumatism, asthma, glomerulonephritis, osteoporosis, nerve disease, and/or malignant tumor. Thus, [6-[(1-imino-1,3-dihydroisoindol-2-yl)acetyl]-2,3-dihydrobenz[1,4]oxazin-4-yl]acetonitrile derivative (II) in vitro showed IC50 of 0.017 μM for inhibiting the binding of [3H]Ala-(4-fluoro)Phe-Arg-(cyclohexyl)Ala-homoArg-Tyr-NH2 to thrombin receptor of human blood platelet, that of 0.29 μM for inhibiting the human blood platelet aggregation induced by thrombin, and that of 0.0061 μM for inhibiting the proliferation of rat smooth cell.

IT **474549-56-9P 474549-57-0P 474549-58-1P**
**474549-59-2P 474549-60-5P 474550-05-5P**
**474550-17-9P 474550-18-0P 474550-19-1P**
**474550-20-4P 474550-21-5P 474550-22-6P**
**474550-23-7P 474550-24-8P 474550-25-9P**
**474550-26-0P 474633-56-2P 474633-57-3P**
**474633-58-4P 474633-59-5P 474633-60-8P**
**474633-61-9P 474633-67-5P 474633-68-6P**
**474639-68-4P 474639-69-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dihydroisoindole and dihydro-5H-pyrrolo[3,4-b]pyridine derivs. as thrombin receptor antagonists and remedies and/or preventives for diseases)

RN 474549-56-9 CAPLUS

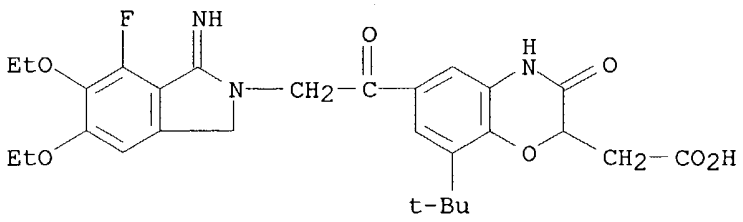
CN 2H-1,4-Benzoxazine-2-acetic acid, 8-(1,1-dimethylethyl)-6-[[5-ethoxy-1,3-dihydro-1-imino-6-[(methylamino)carbonyl]-2H-isoindol-2-yl]acetyl]-3,4-dihydro-3-oxo-, monohydrobromide (9CI) (CA INDEX NAME)




● HBr

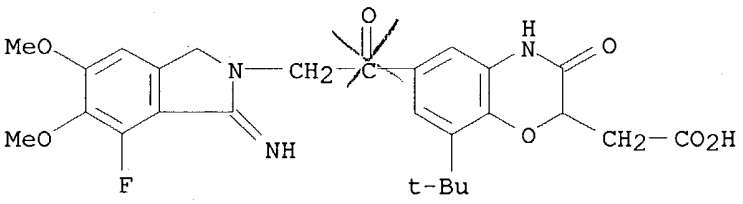
RN 474549-57-0 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 6-[(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)acetyl]-8-(1,1-dimethylethyl)-3,4-dihydro-3-oxo-, monohydrobromide (9CI) (CA INDEX NAME)



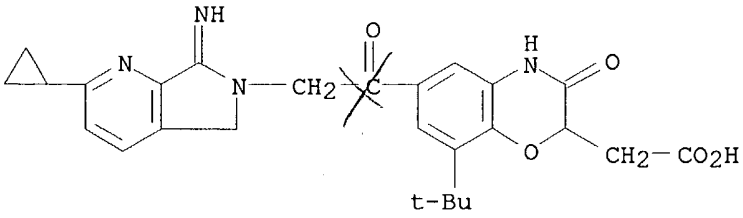
● HBr

RN 474549-58-1 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 8-(1,1-dimethylethyl)-6-[(7-fluoro-1,3-dihydro-1-imino-5,6-dimethoxy-2H-isoindol-2-yl)acetyl]-3,4-dihydro-3-oxo-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

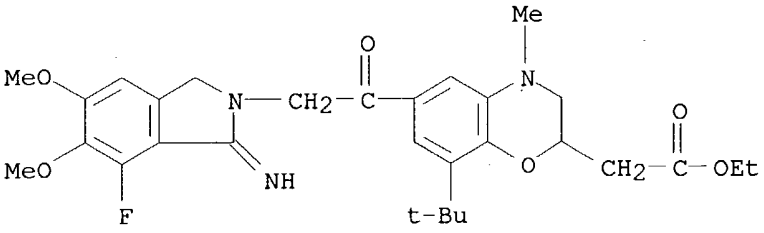
RN 474549-59-2 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 6-[(2-cyclopropyl-5,7-dihydro-7-imino-6H-pyrrolo[3,4-b]pyridin-6-yl)acetyl]-8-(1,1-dimethylethyl)-3,4-dihydro-3-oxo-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

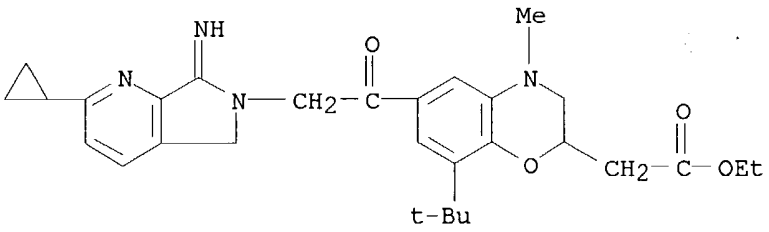
RN 474549-60-5 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 8-(1,1-dimethylethyl)-6-[[3-ethoxy-5,7-dihydro-7-imino-2-[(methylamino)carbonyl]-6H-pyrrolo[3,4-b]pyridin-6-yl]acetyl]-3,4-dihydro-3-oxo-, monohydrobromide (9CI) (CA INDEX NAME)

methyl-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 474639-69-5 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 6-[(2-cyclopropyl-5,7-dihydro-7-imino-6H-pyrrolo[3,4-b]pyridin-6-yl)acetyl]-8-(1,1-dimethylethyl)-3,4-dihydro-4-methyl-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



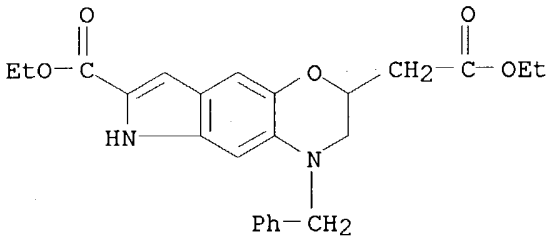
● HBr

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

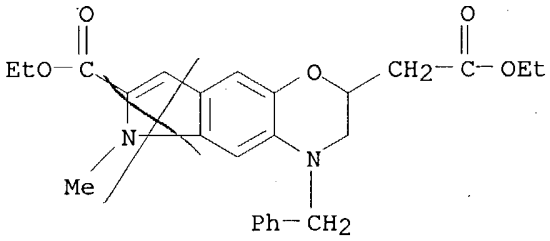
L7 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:790731 CAPLUS
DOCUMENT NUMBER: 138:187720
TITLE: A synthetic route to hexahydro[1,4]oxazino[2,3-h]- and [3,2-j]β-carboline derivatives
AUTHOR(S): Mayer, Stanislas; Joseph, Benoit; Guillaumet, Gerald; Merour, Jean-Yves
CORPORATE SOURCE: Institut de Chimie Organique et Analytique, UMR CNRS 6005, Universite d'Orleans, Orleans, 45067, Fr.
SOURCE: Synthesis (2002), (13), 1871-1878
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:187720
AB Convenient methods for the regioselective formylation of tetrahydro[1,4]oxazino[2,3-f]- and [3,2-g]indole derivs. are described. The obtained formyl derivs. were further transformed in four steps into the unknown hexahydro[1,4]oxazino[2,3-h]- and [3,2-j]β-carbolines.

IT **462117-27-7 462117-34-6 462117-35-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hexahydrooxazinocarbolines via regioselective formylation of tetrahydrooxazinoindoles and cyclization of corresponding nitroalkyl derivs. with subsequent dehydrogenation)

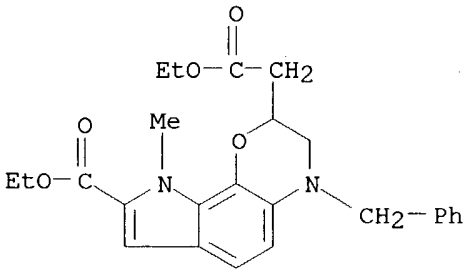
RN 462117-27-7 CAPLUS
CN Pyrrolo[2,3-g]-1,4-benzoxazine-2-acetic acid, 7-(ethoxycarbonyl)-2,3,4,6-tetrahydro-4-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



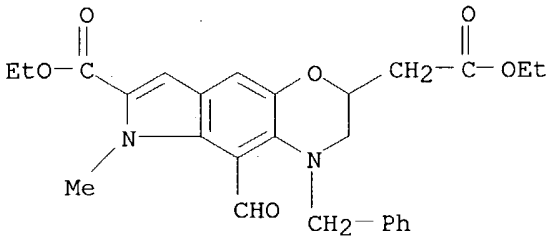
RN 462117-34-6 CAPLUS
CN Pyrrolo[2,3-g]-1,4-benzoxazine-2-acetic acid, 7-(ethoxycarbonyl)-2,3,4,6-tetrahydro-6-methyl-4-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 462117-35-7 CAPLUS
CN Pyrrolo[3,2-h]-1,4-benzoxazine-2-acetic acid, 8-(ethoxycarbonyl)-2,3,4,9-tetrahydro-9-methyl-4-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT **497945-79-6P 497945-80-9P 497945-84-3P**
**497945-85-4P 497945-87-6P 497945-89-8P**
**497945-92-3P 497945-93-4P 497945-94-5P**
**497945-96-7P 497945-97-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

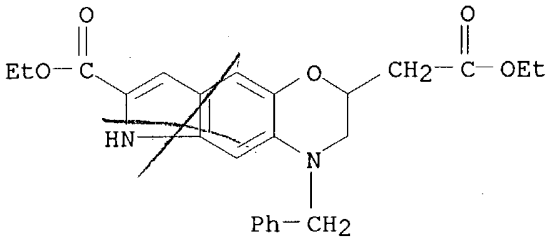
L7 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:394361 CAPLUS
DOCUMENT NUMBER: 137:262998
TITLE: Synthesis and reactivity of 1,4-oxazinoindole derivatives
AUTHOR(S): Mayer, Stanislas; Merour, Jean-Yves; Joseph, Benoit; Guillaumet, Gerald
CORPORATE SOURCE: Institut de Chimie Organique et Analytique, UMR-CNRS 6005, Universite d'Orleans, Orleans, 45067, Fr.
SOURCE: European Journal of Organic Chemistry (2002), (10), 1646-1653
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

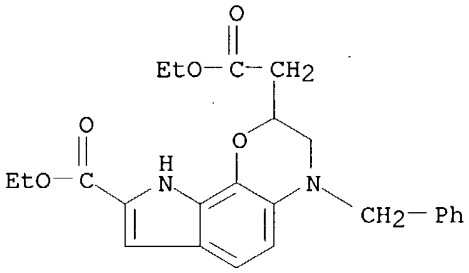
AB Application of the Hemetsberger reaction to Et 4-benzyl-7-formyl-2,3-dihydro-1,4-benzoxazine esters I (n = 0, 1) afforded a mixture of 2,3,4,6-tetrahydro[1,4]oxazino[2,3-f]indole esters (II) and 2,3,4,9-tetrahydro[1,4]oxazino[3,2-g]indole esters (III), with the "linear" derivs. predominant. Michael addition of tert-Bu acrylate to the indole nitrogen atom of II and subsequent electrophilic cyclization gave access to tetracyclic compds. (IV).

IT **462117-27-7P 462117-29-9P 462117-30-2P 462117-37-9P 462117-41-5P 462117-43-7P 462117-45-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactivity of 1,4-oxazinoindole derivs.)

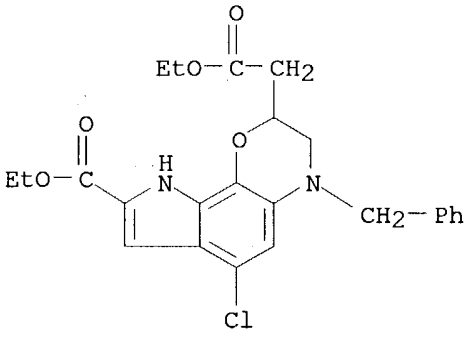
RN 462117-27-7 CAPLUS
CN Pyrrolo[2,3-g]-1,4-benzoxazine-2-acetic acid, 7-(ethoxycarbonyl)-2,3,4,6-tetrahydro-4-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 462117-29-9 CAPLUS
CN Pyrrolo[3,2-h]-1,4-benzoxazine-2-acetic acid, 8-(ethoxycarbonyl)-2,3,4,9-tetrahydro-4-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 462117-30-2 CAPLUS
CN Pyrrolo[3,2-h]-1,4-benzoxazine-2-acetic acid, 6-chloro-8-(ethoxycarbonyl)-2,3,4,9-tetrahydro-4-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 462117-37-9 CAPLUS
CN Pyrrolo[3,2-h]-1,4-benzoxazine-2-acetic acid, 6-chloro-8-(ethoxycarbonyl)-2,3,4,9-tetrahydro-9-methyl-4-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

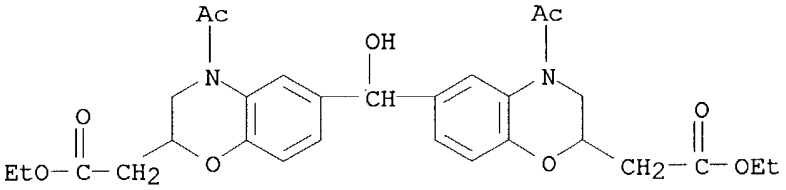
the Rieche's method.

IT **391873-85-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and regioselective formylation of 3,4-dihydro-2H-1,4-benzoxazine-2-carboxylate or 3,4-dihydro-2H-1,4-benzoxazine-2-acetate derivs.)

RN 391873-85-1 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 6,6'-(hydroxymethylene)bis[4-acetyl-3,4-dihydro-, diethyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:674585 CAPLUS

DOCUMENT NUMBER: 136:85444

TITLE: Trimethylsilyldiazomethane in the preparation of diazoketones via mixed anhydride and coupling reagent methods: a new approach to the Arndt-Eistert synthesis

AUTHOR(S): Cesar, J.; Sollner Dolenc, M.

CORPORATE SOURCE: Faculty of Pharmacy, University of Ljubljana, Ljubljana, 1000, Slovenia

SOURCE: Tetrahedron Letters (2001), 42(40), 7099-7102
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

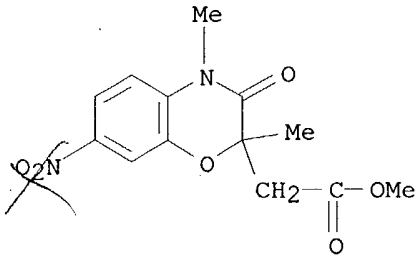
AB Reaction of trimethylsilyldiazomethane with a mixed anhydride derived from a carboxylic acid by the action of Et chloroformate yields the corresponding diazoketone in high yield. Subsequent Wolff rearrangement of the diazoketone leads to the homologated ester. Reaction of trimethylsilyldiazomethane with carboxylic acid-dicyclohexylcarbodiimide mixts. leads to the formation of diazoketone and trimethylsilylmethyl ester in equimolar ratio via an acid anhydride intermediate. The N-hydroxysuccinimide ester of the acid does not react with trimethylsilyldiazomethane or with its more reactive lithiated derivative

IT **386214-89-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of trimethylsilyldiazomethane with a mixed anhydride)

RN 386214-89-7 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-2,4-dimethyl-7-nitro-3-oxo-, methyl ester (9CI) (CA INDEX NAME)

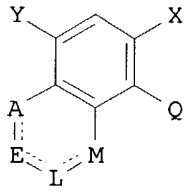


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

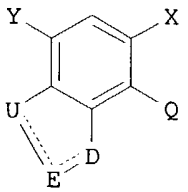
L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:175634 CAPLUS
DOCUMENT NUMBER: 132:190849
TITLE: Preparation of fused benzene derivative herbicides
INVENTOR(S): Tsukamoto, Masamitsu; Gupto, Sandeep; Wu, Shao-Yong; Ying, Bai-Ping; Pulman, David A.
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000013508 | A1 | 20000316 | WO 1999-US18836 | 19990903 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9960187 | A1 | 20000327 | AU 1999-60187 | 19990903 |
| EP 1111993 | A1 | 20010704 | EP 1999-968602 | 19990903 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9913503 | A | 20020129 | BR 1999-13503 | 19990903 |
| JP 2002524399 | T2 | 20020806 | JP 2000-568327 | 19990903 |
| US 6573218 | B1 | 20030603 | US 2001-786816 | 20010705 |
| US 2004029734 | A1 | 20040212 | US 2002-301799 | 20021122 |

PRIORITY APPLN. INFO.: US 1998-149296 A2 19980909
WO 1999-US18836 W 19990903
US 2001-786816 A3 20010705
OTHER SOURCE(S): CASREACT 132:190849; MARPAT 132:190849
GI




I



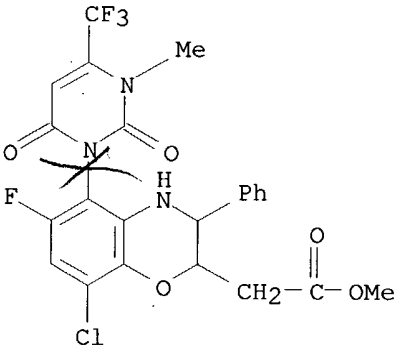

II

AB The fused benzene derivs. I and II [X, Y = H, halo, CN, NO2, etc.; A = O, N, NR1, SOn, C:O, C:S, C(:NR1) etc.; D = N or NR2; M = N, NR2, SOn, C:O, C:S, C(:NR2), etc.; E, L = O, N, C:O, C:S, etc.; U = O, N, NR2, C:O, C:S, C(:NR2), etc.; R1, R2 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, etc.; n = 0, 1 or 2; Q = (un)substituted heterocyclyl] are prepared as herbicides, such as for corn, soybean or plantation crops. The compds. are also useful as defoliants for potato and cotton.

IT **260253-28-9P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as herbicide)

RN 260253-28-9 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 8-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-6-fluoro-3,4-dihydro-3-phenyl-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:568813 CAPLUS
DOCUMENT NUMBER: 129:189671
TITLE: Production and use of bicyclic amino acids as integrin inhibitors for treatment of disease
INVENTOR(S): Diefenbach, Beate; Goodman, Simon; Marz, Joachim; Raddatz, Peter; Rippmann, Friedrich; Wiesner, Matthias
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

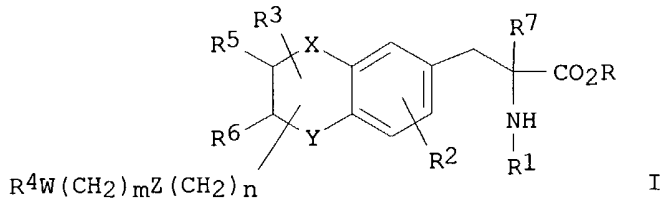
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | |
|---|---|---|---|---|
| WO 9835949 | A1 | 19980820 | WO 1998-EP636 | 19980206 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| DE 19705450 | A1 | 19980820 | DE 1997-19705450 | 19970213 |
| AU 9866206 | A1 | 19980908 | AU 1998-66206 | 19980206 |
| AU 735313 | B2 | 20010705 | | |
| EP 964856 | A1 | 19991222 | EP 1998-908063 | 19980206 |
| EP 964856 | B1 | 20021009 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9807345 | A | 20000321 | BR 1998-7345 | 19980206 |
| JP 2001511789 | T2 | 20010814 | JP 1998-535303 | 19980206 |
| CN 1085205 | B | 20020522 | CN 1998-803959 | 19980206 |
| RU 2187506 | C2 | 20020820 | RU 1999-119223 | 19980206 |
| AT 225776 | E | 20021015 | AT 1998-908063 | 19980206 |
| PT 964856 | T | 20030228 | PT 1998-908063 | 19980206 |
| ES 2183332 | T3 | 20030316 | ES 1998-908063 | 19980206 |
| ZA 9801178 | A | 19990521 | ZA 1998-1178 | 19980212 |
| NO 9903901 | A | 19991012 | NO 1999-3901 | 19990812 |
| MX 9907464 | A | 20000930 | MX 1999-7464 | 19990812 |
| US 2001021709 | A1 | 20010913 | US 2001-842004 | 20010426 |
| US 6559144 | B2 | 20030506 | | |

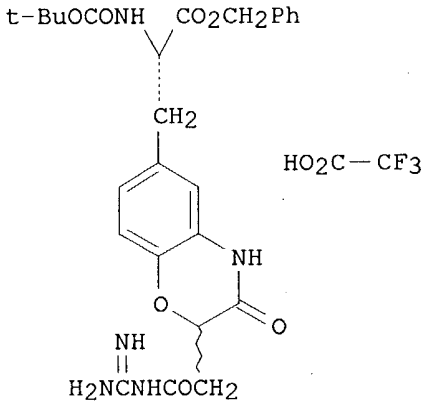
| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | DE 1997-19705450 | A | 19970213 |
| | WO 1998-EP636 | W | 19980206 |
| | US 1999-367219 | B3 | 19991228 |

OTHER SOURCE(S): MARPAT 129:189671

GI



I



II

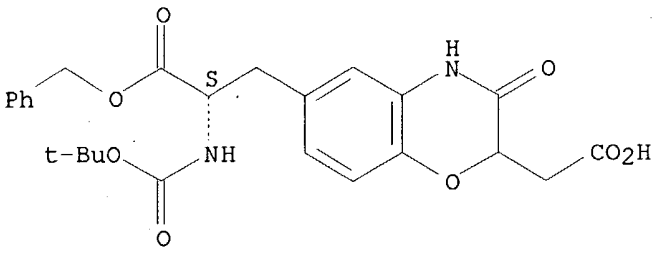
AB The invention relates to compds. of formula [(I); R = H, alkyl, CH2Ph; R1 = R8, COR8, COOR9, COOR8, SO2R9, SO2R8; R8 = H, (hetero)aromatic, aralkyl; R9 = (un)substituted heterocyclic; R2 = H, halogen, OA, NHR8, N(R8)2, NH-acyl, O-acyl, NC, NO2, OR8, SR8, R1, CONHR8; A, A' = H, (un)substituted (hetero-cyclo)alkyl; R3 = H, O, S, alkyl, acyl; R4 = (substituted) NH2,(substituted) H2NC(:NH),(substituted) H2N(C:NH)NH; R5, R6 = H, bond; X, Y = (independently) N, O, S, CH2, C; W, Z = (independently) O, S, NR, CO, CONH, NHCO, C(S)NH, NHC(S), C(S), SO2NH, NHSO2, CA:CA'; m, n = (independently) 0-4], and to their physiol. acceptable salts. Thus, II was synthesized, starting from BOC-3-nitro-L-tyrosine benzyl ester and maleic anhydride. Said compds. can be used as integrin inhibitors, especially for prophylaxis and treatment of circulatory diseases, thrombosis, infarcts, coronary heart diseases, arteriosclerosis, osteoporosis, pathol. symptoms sustained or propagated by angiogenesis and in tumor therapy.

IT **211622-03-6P 211622-34-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(production and use of bicyclic amino acids as integrin inhibitors for treatment of disease)

RN 211622-03-6 CAPLUS

CN 2H-1,4-Benzoxazine-2,6-diacetic acid, α6-[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-3-oxo-, α6-(phenylmethyl) ester, (α6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

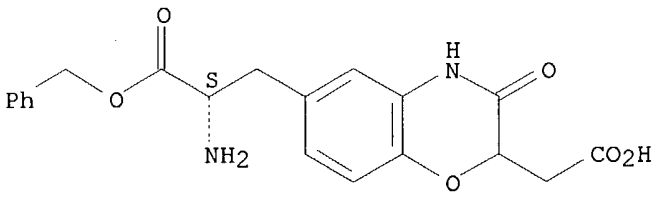


RN 211622-34-3 CAPLUS
CN 2H-1,4-Benzoxazine-2,6-diacetic acid, α6-amino-3,4-dihydro-3-oxo-, α6-(phenylmethyl) ester, (α6S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

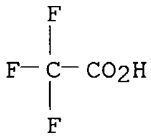
CRN 211622-33-2
CMF C20 H20 N2 O6

Absolute stereochemistry.



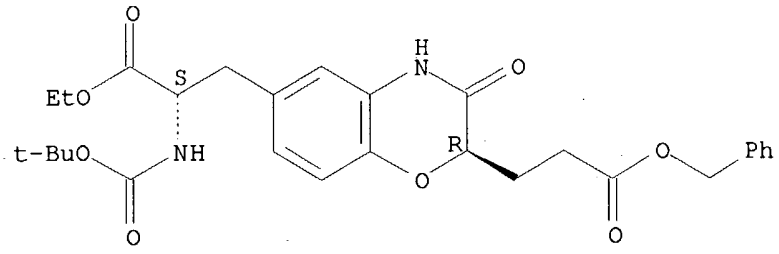
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **211622-55-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(production and use of bicyclic amino acids as integrin inhibitors for treatment of disease)
RN 211622-55-8 CAPLUS
CN 2H-1,4-Benzoxazine-2,6-dipropanoic acid, α6-[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-3-oxo-, α6-ethyl α2-(phenylmethyl) ester, (α6S,2R)- (9CI) (CA INDEX NAME)

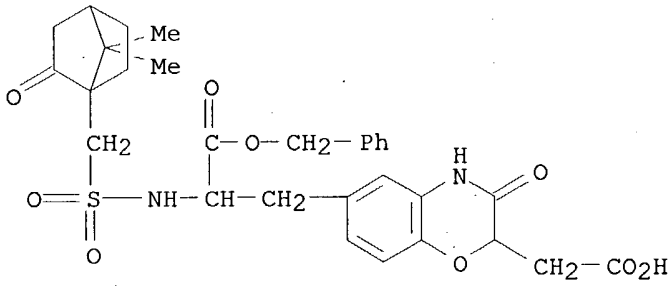
Absolute stereochemistry.



IT **211622-36-5P 211622-56-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(production and use of bicyclic amino acids as integrin inhibitors for treatment of disease)

RN 211622-36-5 CAPLUS

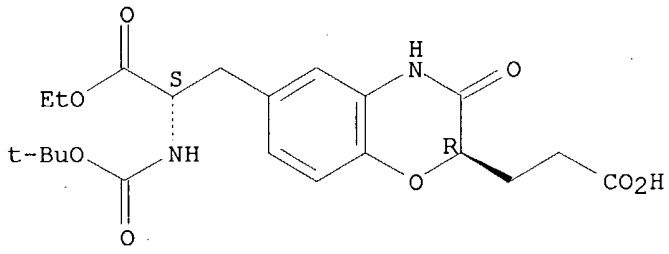
CN 2H-1,4-Benzoxazine-2,6-diacetic acid, α6-[[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]amino]-3,4-dihydro-3-oxo-, α6-(phenylmethyl) ester, (α6S)- (9CI) (CA INDEX NAME)



RN 211622-56-9 CAPLUS

CN 2H-1,4-Benzoxazine-2,6-dipropanoic acid, α6-[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-3-oxo-, α6-ethyl ester, (α6S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



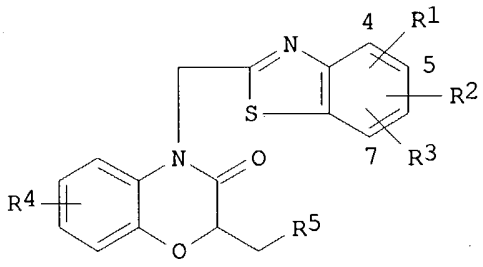
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:909474 CAPLUS
DOCUMENT NUMBER: 123:313987
TITLE: Preparation and formulation of benzoxazineacetic acid derivatives as aldose reductase inhibitors

INVENTOR(S): Kumonaka, Takahiro; Hase, Takema; Aotsuka, Tomoji; Kurihara, Toshio; Nakamura, Yoshiyuki; Matsui, Tetsuo; Ishikawa, Hiromichi; Kobayashi, Fujio
PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan; Green Cross Corporation
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9518805 | A1 | 19950713 | WO 1994-JP5 | 19940106 |
| W: AU, CA, CN, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9458232 | A1 | 19950801 | AU 1994-58232 | 19940106 |
| AU 684260 | B2 | 19971211 | | |
| EP 738727 | A1 | 19961023 | EP 1994-903999 | 19940106 |
| EP 738727 | B1 | 20010926 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1143367 | A | 19970219 | CN 1994-195041 | 19940106 |
| AT 206121 | E | 20011015 | AT 1994-903999 | 19940106 |
| US 5635505 | A | 19970603 | US 1996-666326 | 19960703 |

PRIORITY APPLN. INFO.: CA 1994-2180340 A 19940106
WO 1994-JP5 W 19940106
OTHER SOURCE(S): MARPAT 123:313987
GI



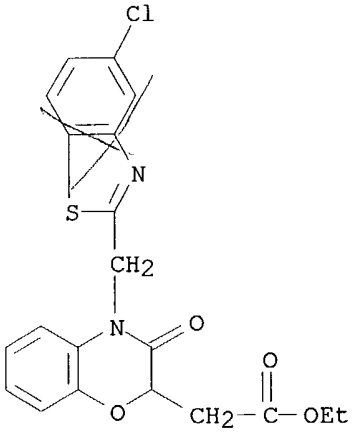

I

AB The title compds. I [R1 - R3 = H, alkyl, etc.; R4 = H, halo, etc.; R5 = (esterified) carboxyl] are prepared I have aldose reductase inhibiting activity and are useful as agents for preventing or treating complications of diabetes. I [R1 = 5-Cl; R2 = R3 = R4 = H; R5 = CO2H] in vitro showed IC50 of 8.6 x 10-9 M against aldose reductase. The activities of 8 compds. of this invention in diabetic rats are given in a table in this document.

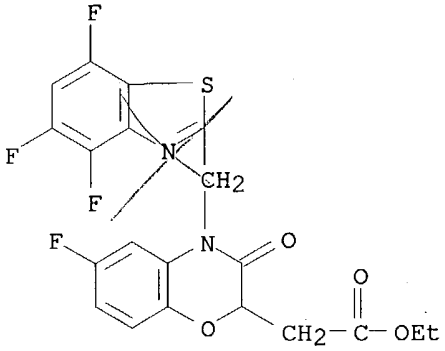
IT **158870-36-1P 158870-37-2P 158870-38-3P**
**158870-39-4P 158870-40-7P 158870-41-8P**
**158870-42-9P 158870-43-0P 158870-44-1P**
**158870-45-2P 158870-46-3P 158870-47-4P**
**158870-48-5P 158870-49-6P 158870-50-9P**
**158870-51-0P 158870-52-1P 158870-53-2P**
**158870-54-3P 158870-55-4P 158870-56-5P**
**158870-57-6P 158870-58-7P 158870-59-8P**

**158870-60-1P 158870-61-2P 158870-62-3P**
**158870-63-4P 158870-64-5P 158870-65-6P**
**158870-66-7P 158870-67-8P 158870-68-9P**
**158870-69-0P 158870-70-3P 158870-71-4P**
**158870-72-5P 158870-73-6P 158870-74-7P**
**158870-75-8P 158870-76-9P 158870-77-0P**
**158870-78-1P 158870-79-2P 158870-80-5P**
**158870-81-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazineacetic acid derivs. as aldose reductase inhibitors)

RN 158870-36-1 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(5-chloro-2-benzothiazolyl)methyl]-3,4-dihydro-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

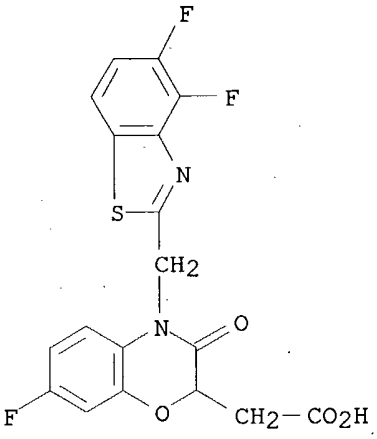



RN 158870-37-2 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 6-fluoro-3,4-dihydro-3-oxo-4-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

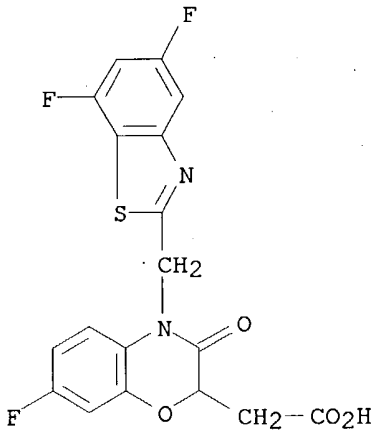



RN 158870-38-3 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(4,5-difluoro-2-benzothiazolyl)methyl]-6-fluoro-3,4-dihydro-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 158870-80-5 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(4,5-difluoro-2-benzothiazolyl)methyl]-7-fluoro-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



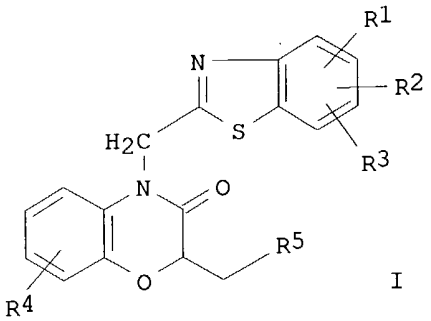
RN 158870-81-6 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(5,7-difluoro-2-benzothiazolyl)methyl]-7-fluoro-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:680655 CAPLUS
DOCUMENT NUMBER: 121:280655
TITLE: preparation of 1,4-benzoxazine-2-acetic acids for treatment of diabetes complications
INVENTOR(S): Kumonaka, Yasuhiro; Hase, Dakeshin; Aozuka, Tomoshi; Kurihara, Toshio; Nakamura, Yoshuki; Matsui, Tetsuo; Ishikawa, Hirotomo; Kobayashi, Fujio
PATENT ASSIGNEE(S): Senju Pharma Co, Japan; Green Cross Corp
SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 06172353 | A2 | 19940621 | JP 1992-274827 | 19920921 |
| CA 2180340 | AA | 19950713 | CA 1994-2180340 | 19940106 |

PRIORITY APPLN. INFO.: JP 1992-274827 A 19920921
OTHER SOURCE(S): CASREACT 121:280655; MARPAT 121:280655
GI

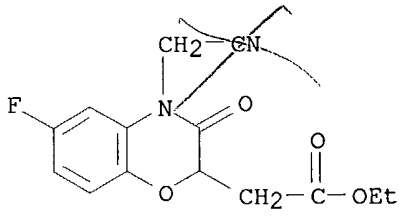



I

AB Title compds. I [R1, R2, R3 = H, alkyl, alkoxy, halo, OH; R4 = H, halo, alkyl, alkoxy; R5 = (esterified) CO2H] are prepared, e.g., via reaction of benzoxazine-2-acetic acids with the appropriate 2-(halomethyl)benzothiazoles. Thus, a mixture of Et 3,4-dihydro-3-oxo-2H-1,4-benzoxazine-2-acetate, 2-(bromomethyl)-5-chlorobenzothiazole, potassium iodide, and K2CO3 in DMSO was stirred at room temperature for 15 h to give I [R1 = 5-Cl, R2-R4 = H, R5 = CO2H]. In an in vitro study I [R1, R2, R3 = 4-, 5-, 7-fluoro, resp., R4 = H, R5 = CO2H] (also prepared) had an IC50 of 8.6+10-3 M against aldose reductase. I were also evaluated for their inhibiting activity on the accumulation of sorbitol in the tissue of exptl. diabetic rats.

IT **158870-82-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediate in preparation of 1,4-benzoxazine-2-acetic acids for treatment of diabetes complications)

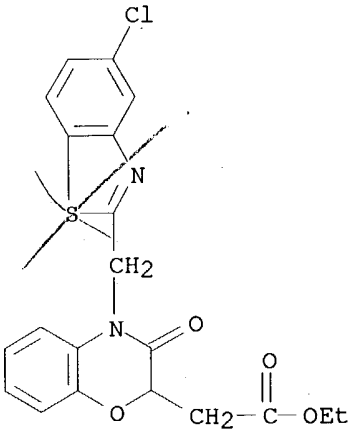
RN 158870-82-7 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-(cyanomethyl)-6-fluoro-3,4-dihydro-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



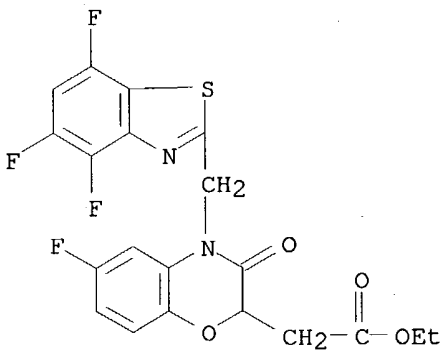

IT **158870-36-1P 158870-37-2P 158870-38-3P**
**158870-39-4P 158870-40-7P 158870-41-8P**
**158870-42-9P 158870-43-0P 158870-44-1P**
**158870-45-2P 158870-46-3P 158870-47-4P**
**158870-48-5P 158870-49-6P 158870-50-9P**
**158870-51-0P 158870-52-1P 158870-53-2P**

**158870-54-3P 158870-55-4P 158870-56-5P**
**158870-57-6P 158870-58-7P 158870-59-8P**
**158870-60-1P 158870-61-2P 158870-62-3P**
**158870-63-4P 158870-64-5P 158870-65-6P**
**158870-66-7P 158870-67-8P 158870-68-9P**
**158870-69-0P 158870-70-3P 158870-71-4P**
**158870-72-5P 158870-73-6P 158870-74-7P**
**158870-75-8P 158870-76-9P 158870-77-0P**
**158870-78-1P 158870-79-2P 158870-80-5P**
**158870-81-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,4-benzoxazine-2-acetic acids for treatment of diabetes complications)

RN 158870-36-1 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(5-chloro-2-benzothiazolyl)methyl]-3,4-dihydro-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

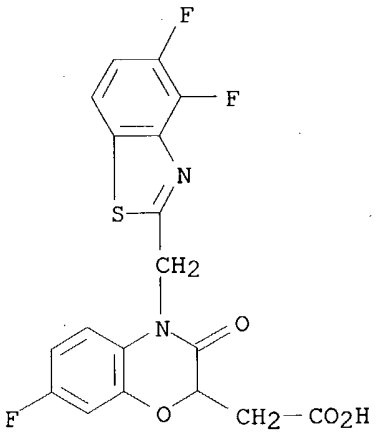



RN 158870-37-2 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 6-fluoro-3,4-dihydro-3-oxo-4-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

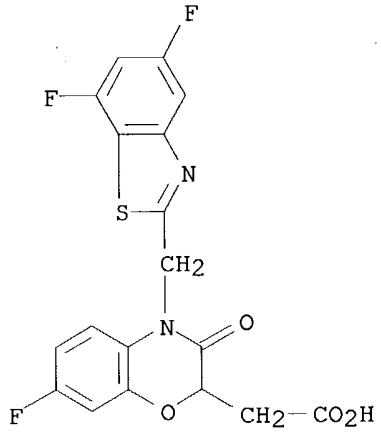



RN 158870-38-3 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(4,5-difluoro-2-benzothiazolyl)methyl]-6-fluoro-3,4-dihydro-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 158870-80-5 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(4,5-difluoro-2-benzothiazolyl)methyl]-7-fluoro-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



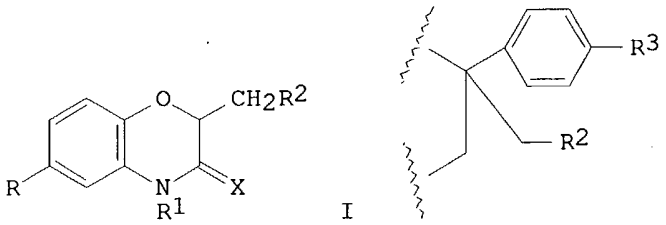
RN 158870-81-6 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 4-[(5,7-difluoro-2-benzothiazolyl)methyl]-7-fluoro-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



L7 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1987:84517 CAPLUS |
| DOCUMENT NUMBER: | 106:84517 |
| TITLE: | Syntheses of 3,4-dihydro-2H-1,4-benzoxazine-2-acetates and related compounds |
| AUTHOR(S): | Masuoka, Yutaka; Asako, Tsunehiko; Goto, Giichi; Noguchi, Shunsaku |
| CORPORATE SOURCE: | Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan |
| SOURCE: | Chemical & Pharmaceutical Bulletin (1986), 34(1), 130-9<br>CODEN: CPBTAL; ISSN: 0009-2363 |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | English |
| OTHER SOURCE(S): | CASREACT 106:84517 |

GI

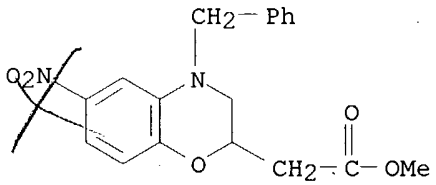


AB Benzoxazines I (X = H2; R= H, Cl, Me, NO2; R1 = H, CH2Ph; R2 = CO2Me,cyano) and their Ph analogs II (R3 = H, Cl) were prepared by intramol. Michael reaction of hydroxyanilinobutenoates, -butenonitriles, and their Ph analogs in basic solns. I (X = O, R2 = CO2Et) and I (X = H2, R = H, R1 = CH2Ph, R2 = 4-O2NC6H4) were prepared similarly by condensation of E-EtO2CCH:CHCOCl and 4-O2NC6H4CH:CHCH2Br followed by Michael reaction of the resulting acrylate. I [R1 = (CH2)nPh; R2 = CH2NR4R5; NR4R5 = NEt2, NMeCH2Ph, 4-methylpiperazino; X = O; n = 1, 2] and I [X = H2, R = H, R1 = H, (CH2)nPh, R2 = CPh2OH] were prepared by amidation of the acid or by Grignard reaction. I (X = H2, R = H, R1 = CH2Ph, R2 = CO2Me, CPh2OH) showed considerable anxiolytic activity in the conflict test in rats, whereas I [R = H, R1 = (CH2)nPh, R2 = CH2NR4R5, NR4R5 = NEt2, NMeCH2Ph; X = O; n = 1,2) showed potent anticonvulsant activity in mice.

IT **77434-64-1P 77434-77-6P 77434-79-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of)

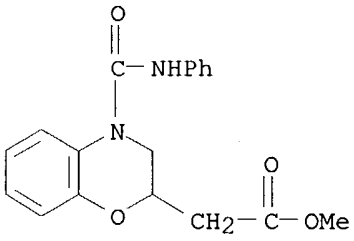
RN 77434-64-1 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-nitro-4-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

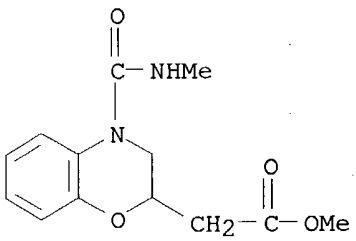


RN 77434-77-6 CAPLUS

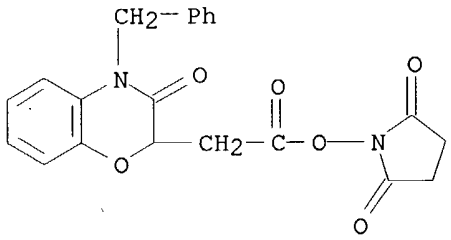
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-4-[(phenylamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



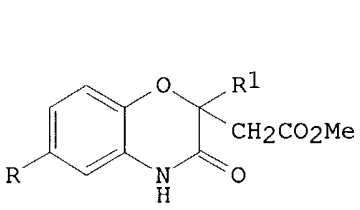
RN 77434-79-8 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-4-[(methylamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



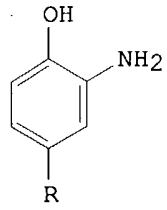
IT **106201-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 106201-36-9 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[[[3,4-dihydro-3-oxo-4-(phenylmethyl)-2H-1,4-benzoxazin-2-yl]acetyl]oxy]- (9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:572373 CAPLUS
DOCUMENT NUMBER: 105:172373
TITLE: A convenient and one-pot synthesis of methyl α-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)acetates
AUTHOR(S): Shridhar, D. R.; Ram, Bhagat; Rao, K. Srinivasa; Jain, M. L.
CORPORATE SOURCE: Chem. Div., Indian Drugs and Pharm. Ltd., Hyderabad, 500 037, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(9), 992-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:172373
GI



I



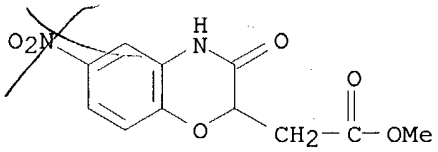
II

AB A convenient and one-step method for the preparation of Me benzoxazineacetates I (R = H, Cl, Me, NO2; R1 = H, Me) was described. The reaction of phenols II with maleic anhydride in the presence of Et3N yielded I (R1 = H), while with methylmaleic anhydride, an initial nucleophilic attack at the more hindered carbonyl group took place leading to the formation of I (R1 = Me) in excellent yields. The antiinflammatory activity of I was also described. I (R = H, R1 = Me) exhibited 26% inhibition of edema in the rat paw carrageenin test.

IT **104662-86-4P 104662-90-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of)

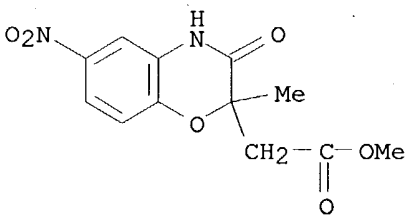
RN 104662-86-4 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-nitro-3-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 104662-90-0 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-2-methyl-6-nitro-3-oxo-, methyl ester (9CI) (CA INDEX NAME)



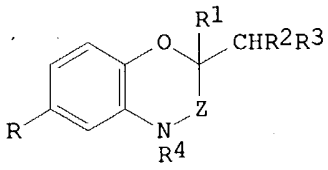
L7 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:480985 CAPLUS
DOCUMENT NUMBER: 95:80985
TITLE: Benzoxazine derivatives
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 56002976 | A2 | 19810113 | JP 1979-77032 | 19790618 |

PRIORITY APPLN. INFO.: JP 1979-77032 19790618
GI

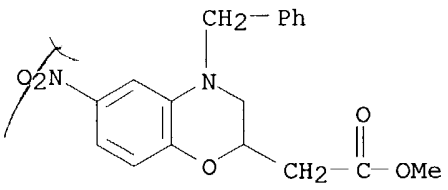


I

AB Thirty-eight benzoxazine derivs. I (R = H, Me, Cl, NO2; R1, R4 = H, hydrocarbon residues; R2 = electroneg. groups; R3 = H, hydrocarbon residues, electroneg. groups; Z = CH2, CO, CS) were prepared by reaction of 2,4-(HO)RC6H3NHR4 with XZ1CR1:CR2R3 (Z1 = CH2, CO; X = halo), cyclization of the resulting 2,4-(HO)RC6H3NR4Z1CR1:CR2R3, and optional hydrolysis, reduction, sulfurization, or introduction of hydrocarbon residues. Thus, a mixture of 550 mg 2-HOC6H4NH2, 500 mg NaHCO3, and BrCH2CH:CHCO2Me (amount not given) in MeOH was stirred 1 day at room temperature to give 640 mg 2-HOC6H4NHCH2CH:CHCO2Me (II). Stirring II with 10 mg K2CO3 20 min to give 540 mg I (R = R1 = R3 = R4 = H, R2 = CO2Me, Z = CH2). I (R = R1 = R3 = H, R2 = CO2Me, R4 = PhCH2, Z = CH2) showed tranquilizer activity at 20 mg/kg i.p. in mice.

IT **77434-64-1P 77434-77-6P 77434-78-7P**
**77434-79-8P 77434-86-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77434-64-1 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-6-nitro-4-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 77434-77-6 CAPLUS
CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-4-[(phenylamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)